APPENDIX

- **D-24203 (example 1):**

[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]quinolin-4-ylmethanone

IR: ν = 3070, 2997, 2953, 2895, 2827, 1629, 1587, 1195, 1148, 991, 826 cm^{-1}

melting point: 146-148°C

mass spectrum: m/e= 378 (M^+)

^{1}H-NMR (DMSO) δ= 8.95 (d, 1H), 8.12 (d, 1H), 7.85 (m, 2H), 7.67 (t, 1H), 7.52 (d, 1H), 6.1 (s, 2H), 6.01 (s, 1H), 3.95 (br. s, 2H), 3.69 (s, 6H), 3.35 (m, 2H), 3.25-2.9 (m, 4H) ppm.

- **D-43589 (example 2):**

[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]quinolin-4-ylmethanone hydrochloride

IR: ν = 3375, 2428, 1714, 1626, 1597, 1201, 1159, 843 cm^{-1}

melting point: 131-133°C

mass spectrum: m/e= 378 (M^+)

^{1}H-NMR (DMSO) δ= 9.32 (d, 1H), 8.47 (d, 1H), 8.18-7.9 (m, 4H), 6.4 (br. s, 1H), 4.05 (m, 2H), 3.72 (s, 6H), 3.54 (m, 2H), 3.42 (m, 2H), 3.26 (m, 1H), 3.07 (m, 1H) ppm.

- D-68780 (example 3) :

7-Chloro-2-(4-chlorophenyl)-6-methylquinolin-4-yl]-[4-(3,5-dimethoxyphenyl)-piperazin-1-yl]methanone

IR: ν = 2933, 2834, 1626, 1610, 1582, 1251, 1150, 838 cm^{-1}

melting point: 226-228°C

mass spectrum: m/e= 537 (M^+)

^{1}H-NMR (DMSO) δ= 8.34 (d, 2H), 8.21 (d, 2H), 7.80 (s, 1H), 7.62 (d, 2H), 6.08 (s, 2H), 6.02 (s, 1H), 3.92 (s, 2H), 3.7 (s, 6H), 3.33-2.9 (m, 6H), 2.53 (s, 3H) ppm.

- D-68675 (example 4) :

[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]-(3-hydroxy-2-methylquinolin-4-yl)methanone

IR: ν = 3021, 2974, 2906, 2811, 1640, 1586, 1177, 813 cm^{-1}

melting point: 240°C

mass spectrum: m/e= 408 (M^+)

^{1}H-NMR (DMSO) δ=9.95 (s, OH), 7.90 (d, 1H), 7.68-7.45 (m, 3H), 6.09 (s, 2H), 5.98 (s, 1H), 4.05 (m, 1H), 3.75 (m, 1H), 3.7 (s, 6H), 3.3-3.12 (m, 5H), 2.86 (m, 1H), 2.61 (s, 3H) ppm.

- D-68823 (example 5) :

[2-(3,4-Dimethoxyphenyl)-7-methylquinolin-4-yl]-[4-(3,5-dimethoxyphenyl)piperazin-1-yl]methanone

IR: ν = 2933, 2835, 1635, 1589, 1509, 1426, 1148, 994, 814 cm^{-1}

melting point: 92-94°C

mass spectrum: m/e= 528 (M^+)

^{1}H-NMR (DMSO) δ= 8.05 (s, 1H), 7.92- 7.85 (m, 3H), 7.71 (d, 2H), 7.54 (d, 1H), 7.12 (d, 1H), 6.07 (s, 2H), 5.98 (s, 1H), 4.02 (m, 1H), 3.92 (s, 3H), 3.87 (s, 3H), 3.78 (m, 1H), 3.71 (s, 6H), 3.45-2.9 (m, 6H), 2.54 (s, 3H) ppm.

Optionally: new examples

- **D-85994 (example 6)**

[4-(6-Methylpyridin-2-yl)piperazin-1-yl]quinolin-4-ylmethanone

mass spectrum: m/e= 333 (M^+)

^{1}H-NMR (DMSO) δ= 9.0 (s, 1H), 8.12 (d, 1H), 7.85 (dd, 2H), 7.68 (t, 1H), 7.54 (d, 1H), 7.45 (t, 1H), 6.62 (d, 1H), 6.55 (d, 1H), 4.0-3.8 (m, 2H), 3.73 (m, 2H), 3.45 (m, 2H), 3.22 (m, 2H), 2.30 (s, 3H) ppm.

- **D-87197 (example 7)**

[4-(3-Methoxyphenyl)piperazin-1-yl]-(2-phenylquinolin-4-yl)methanone

mass spectrum: m/e= 424(M^+)

- **D-87130 (example 8)**

[4-(6-Methylpyridin-2-yl)piperazin-1-yl]-(2-phenylquinolin-4-yl)methanone

mass spectrum: m/e= 409 (M^+)

- **D-87219 (example 9)**

[4-(3-Methoxyphenyl)piperazin-1-yl]quinolin-8-ylmethanone

mass spectrum: m/e= 348 (M^+)

- **D-87280 (example 10)**

(2-Phenylquinolin-4-yl)-(4-m-tolylpiperazin-1-yl)methanone

mass spectrum: m/e= 408 (M^+)

- **D-87129 (example 11)**

[4-(6-Chloropyridin-2-yl)piperazin-1-yl]-(2-phenylquinolin-4-yl)methanone

mass spectrum: m/e= 429 (M^+)

Biological results:

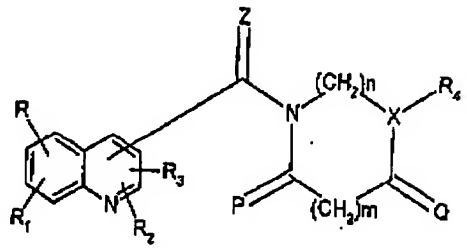
Example 12:

Substances **1** and **4** were examined for their antiproliferative action in a proliferation assay using established tumor cell lines (D.A. Scuderio et al. Cancer Res. 1988, 48, 4827-4833). In the test used, the cellular dehydrogenase activity is determined, which

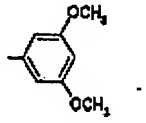
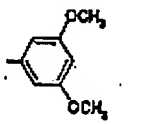
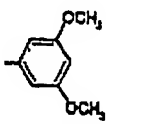
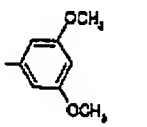
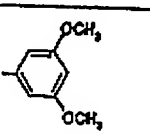
allows the cell vitality and, indirectly, the cell number to be assessed. The cell lines used are the human cervical carcinoma cell line KB/HeLa (ATCC CCL17), the ovarial adeno carcinoma cell line SKOV-3 (ATCC HTB77), the human glioblastoma cell line SF-268 (NCI 503138) and the pulmonary carcinoma cell line NCI-H460 (NCI 503473). The results show highly potent inhibition of the proliferation of selected tumor cell lines by the substances mentioned.

	XTT Proliferation assay, EC50 in µg/ml			
Example	KB/Hela	SKOV3	SF-268	NCI-H460
1	0.029	0.010	0.016	0.022
4	0.135	0.141	0.264	0.327

Table 1: Proliferation inhibition of the substances according to the invention in the XTT cytotoxicity test with human tumor cell lines



formula 1

Ex.	R	R_1	R_2	R_3	X	Z	n	m	P	Q	R_4	Code-Nr.	m/e (M+H)
1	H	H	H	H	N	O	2	0	H_2	H_2		24203	376
2 HCl-Salz	H	H	H	H	N	O	2	0	H_2	H_2		43589	376
3	2-(4-chloro-phenyl)	H	6-CH_3	7-Cl	N	O	2	0	H_2	H_2		68780	537
4	2-CH_3	3-OH	H	H	N	O	2	0	H_2	H_2		68675	408
5	2-(3,4-dimeth-oxyphenyl)	H	H	7-CH_3	N	O	2	0	H_2	H_2		68823	526